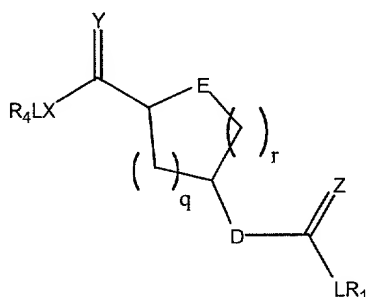


We claim:

1. A pharmaceutical formulation comprising an aqueous solution of a pharmaceutically acceptable salt of a compound represented in the general formula (I):



Formula I

wherein, as valence and stability permit,

R_1 and R_4 , independently for each occurrence, represent H, lower alkyl, $-(CH_2)_n$ aryl, or $-(CH_2)_n$ heteroaryl;

L, independently for each occurrence, is absent or represents $-(CH_2)_n$ -, $-alkenyl$ -, $-alkynyl$ -, $-(CH_2)_n$ alkenyl-, $-(CH_2)_n$ alkynyl-, $-(CH_2)_nO(CH_2)_p$ -, $-(CH_2)_nNR_8(CH_2)_p$ -, $-(CH_2)_nS(CH_2)_p$ -, $-(CH_2)_n$ alkenyl $(CH_2)_p$ -, $-(CH_2)_n$ alkynyl $(CH_2)_p$ -, $-O(CH_2)_n$ -, $-NR_8(CH_2)_n$ -, or $-S(CH_2)_n$;

X and D, independently, are selected from $-N(R_8)$ -, $-O$ -, $-S$ -, $-(R_8)N-N(R_8)$ -, $-ON(R_8)$ -, and a direct bond;

Y and Z, independently, are selected from O and S;

E represents NR_5 , wherein R_5 represents LR_8 or an ammonium salt thereof;

R_8 , independently for each occurrence, represents H, lower alkyl, $-(CH_2)_n$ aryl, or $-(CH_2)_n$ heteroaryl, or two R_8 taken together may form a 4- to 8-membered ring;

p represents, independently for each occurrence, an integer from 0 to 3;

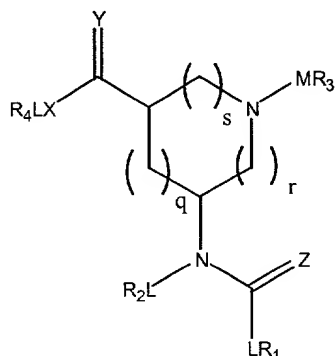
n, individually for each occurrence, represents an integer from 0 to 5; and

q and r represent, independently for each occurrence, an integer from 0 to 2.

2. The formulation of claim 1, wherein Y and Z each represent O.

3. The formulation of claim 1, wherein the sum of q and r is less than 4.
4. The formulation of claim 1, wherein D represents an aralkyl- or heteroaralkyl-substituted amine.
5. The formulation of claim 1, wherein R₁ represents a branched alkyl, a cycloalkyl, or a cycloalkylalkyl.
6. The formulation of claim 1, wherein L attached to R₁ represents O, S, or NR₈.
8. The formulation of claim 1, wherein X is included in a ring.
9. The formulation of claim 1, wherein XLR₄ includes a cyclic amine.
10. The formulation of claim 1, wherein the salt is a chloride, bromide, iodide, succinate, tartrate, lactate, mesylate, or maleate salt.
11. The formulation of claim 1, wherein the solution includes a dissolved physiologically acceptable salt.
12. The formulation of claim 11, wherein the physiologically salt is sodium acetate.
13. The formulation of claim 1, wherein the aqueous solution further includes a solute selected from dextrose, lactose, mannitol, or another polyhydroxylated compound.
14. The formulation of claim 1, wherein the aqueous solution has an osmolarity between 200 and 400 mOsm.
15. The formulation of claim 1, wherein the solution has a pH in the range of 3 to 6.
16. The formulation of claim 1, wherein the formulation is suitable for topical administration.

17. A pharmaceutical formulation comprising an aqueous solution of a pharmaceutically acceptable salt of a compound represented in the general formula (II):



Formula II

wherein, as valence and stability permit,

R_1 , R_2 , R_3 , and R_4 , independently for each occurrence, represent H, lower alkyl, $-(CH_2)_n$ aryl, or $-(CH_2)_n$ heteroaryl;

L, independently for each occurrence, is absent or represents $-(CH_2)_n$ -, $-\text{alkenyl}$ -, $-\text{alkynyl}$ -, $-(CH_2)_n$ alkenyl-, $-(CH_2)_n$ alkynyl-, $-(CH_2)_nO(CH_2)_p$ -, $-(CH_2)_nNR_8(CH_2)_p$ -, $-(CH_2)_nS(CH_2)_p$ -, $-(CH_2)_n$ alkenyl $(CH_2)_p$ -, $-(CH_2)_n$ alkynyl $(CH_2)_p$ -, $-O(CH_2)_n$ -, $-NR_8(CH_2)_n$ -, or $-S(CH_2)_n$;

X is selected, independently, from $-N(R_8)$ -, $-O$ -, $-S$ -, $-(R_8)N-N(R_8)$ -, $-ON(R_8)$ -, and a direct bond;

Y and Z, independently, are selected from O and S;

R_8 , independently for each occurrence, represents H, lower alkyl, $-(CH_2)_n$ aryl, or $-(CH_2)_n$ heteroaryl, or two R_8 taken together may form a 4- to 8-membered ring;

M is absent or represents L, $-SO_2L$ -, or $-(C=O)L$ -;

p represents, independently for each occurrence, an integer from 0 to 3;

n, individually for each occurrence, represents an integer from 0 to 5; and

q, r, and s represent, independently for each occurrence, an integer from 0 to 2.

18. The formulation of claim 17, wherein Y and Z each represent O.

19. The formulation of claim 17, wherein the sum of q, r, and s is less than 4.
20. The formulation of claim 17, wherein at least one of R₁, R₂, and R₃ includes an aryl group.
21. The formulation of claim 17, wherein XLR₄ includes a cyclic diamine.
22. The formulation of claim 17, wherein X is included in a diazacyclobutane.
23. The formulation of claim 17, wherein R₁ represents a branched alkyl, a cycloalkyl, or a cycloalkylalkyl.
24. The formulation of claim 17, wherein L attached to R₁ represents O, S, or NR₈.
25. The formulation of claim 17, wherein the salt is a chloride, bromide, iodide, succinate, tartrate, lactate, mesylate, or maleate salt.
26. The formulation of claim 17, wherein the solution includes a dissolved physiologically acceptable salt.
27. The formulation of claim 26, wherein physiologically the salt is sodium acetate.
28. The formulation of claim 17, wherein the aqueous solution further includes a solute selected from dextrose, lactose, mannitol, or another polyhydroxylated compound.
29. The formulation of claim 17, wherein the aqueous solution has an osmolarity between 200 and 400 mOsm.
30. The formulation of claim 17, wherein the solution has a pH in the range of 3 to 6.
31. The formulation of claim 17, wherein the formulation is suitable for topical administration.

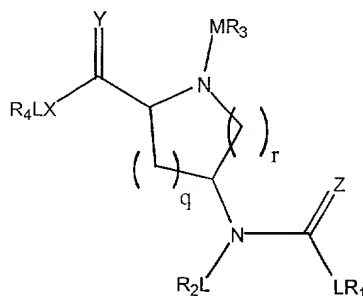
32. A method for inhibiting activation of a *hedgehog* pathway in a cell, comprising contacting the cell with the formulation of claim 1.

33. A method for inhibiting activation of a *hedgehog* pathway in a cell, comprising contacting the cell with the formulation of claim 17.

34. A method for treating or preventing basal cell carcinoma, comprising administering the formulation of claim 1 to a patient in an amount sufficient to inhibit progression of basal cell carcinoma.

35. A method for treating or preventing basal cell carcinoma, comprising administering the formulation of claim 17 to a patient in an amount sufficient to inhibit progression of basal cell carcinoma.

36. A pharmaceutical formulation comprising an aqueous solution of a pharmaceutically acceptable salt of a compound represented in the general formula (III):



Formula III

wherein, as valence and stability permit,

R₁, R₂, R₃, and R₄, independently for each occurrence, represent H, lower alkyl, -
(CH₂)_naryl, or -(CH₂)_nheteroaryl;

L, independently for each occurrence, is absent or represents -(CH₂)_n-, -alkenyl-, -
alkynyl-, -(CH₂)_nalkenyl-, -(CH₂)_nalkynyl-, -(CH₂)_nO(CH₂)_p-, -
(CH₂)_nNR₈(CH₂)_p-, -(CH₂)_nS(CH₂)_p-, -(CH₂)_nalkenyl(CH₂)_p-, -
(CH₂)_nalkynyl(CH₂)_p-, -O(CH₂)_n-, -NR₈(CH₂)_n-, or -S(CH₂)_n;

X is selected from -N(R₈)-, -O-, -S-, -(R₈)N-N(R₈)-, -ON(R₈)-, and a direct bond;

Y and Z, independently, are selected from O and S;

R₈, independently for each occurrence, represents H, lower alkyl, -(CH₂)_naryl, or -

(CH₂)_nheteroaryl, or two R₈ taken together may form a 4- to 8-membered ring;

M is absent or represents L, -SO₂L-, or -(C=O)L-;

p represents, independently for each occurrence, an integer from 0 to 3;

n, individually for each occurrence, represents an integer from 0 to 5; and

q and r represent, independently for each occurrence, an integer from 0 to 2.

37. The formulation of claim 36, wherein the sum of q and r is less than 4.

38. The formulation of claim 36, wherein R₁ represents a branched alkyl, a cycloalkyl, or a cycloalkylalkyl.

39. The formulation of claim 36, wherein XLR₄ includes a cyclic amine.

40. The formulation of claim 36, wherein the salt is a chloride, bromide, iodide, succinate, tartrate, lactate, mesylate, or maleate salt.

41. The formulation of claim 36, wherein the solution includes a dissolved physiologically acceptable salt.

42. The formulation of claim 41, wherein physiologically the salt is sodium acetate.

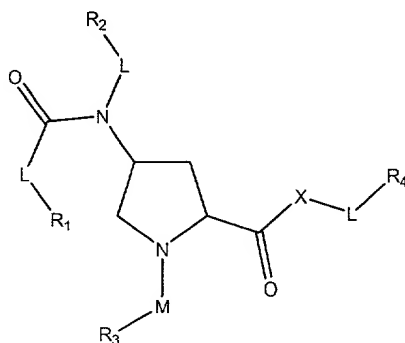
43. The formulation of claim 36, wherein the aqueous solution further includes a solute selected from dextrose, lactose, mannitol, or another polyhydroxylated compound.

44. The formulation of claim 36, wherein the aqueous solution has an osmolarity between 200 and 400 mOsm.

45. The formulation of claim 36, wherein the solution has a pH in the range of 3 to 6.

46. The formulation of claim 36, wherein the formulation is suitable for topical administration.

47. A pharmaceutical formulation comprising an aqueous solution of a pharmaceutically acceptable salt of a compound represented in the general formula (IV):



Formula IV

wherein, as valence and stability permit,

R_1 , R_2 , R_3 , and R_4 , independently for each occurrence, represent H, lower alkyl, $-(CH_2)_n$ aryl, or $-(CH_2)_n$ heteroaryl;

L, independently for each occurrence, is absent or represents $-(CH_2)_n$ -, $-alkenyl$ -, $-alkynyl$ -, $-(CH_2)_n$ alkenyl-, $-(CH_2)_n$ alkynyl-, $-(CH_2)_nO(CH_2)_p$ -, $-(CH_2)_nNR_8(CH_2)_p$ -, $-(CH_2)_nS(CH_2)_p$ -, $-(CH_2)_n$ alkenyl $(CH_2)_p$ -, $-(CH_2)_n$ alkynyl $(CH_2)_p$ -, $-O(CH_2)_n$ -, $-NR_8(CH_2)_n$ -, or $-S(CH_2)_n$;

X is selected, independently, from $-N(R_8)$ -, $-O$ -, $-S$ -, $-(R_8)N-N(R_8)$ -, $-ON(R_8)$ -, and a direct bond;

R_8 , independently for each occurrence, represents H, lower alkyl, $-(CH_2)_n$ aryl, or $-(CH_2)_n$ heteroaryl, or two R_8 taken together may form a 4- to 8-membered ring;

M is absent or represents L, $-SO_2L$ -, or $-(C=O)L$;

p represents, independently for each occurrence, an integer from 0 to 3; and

n, individually for each occurrence, represents an integer from 0 to 5.

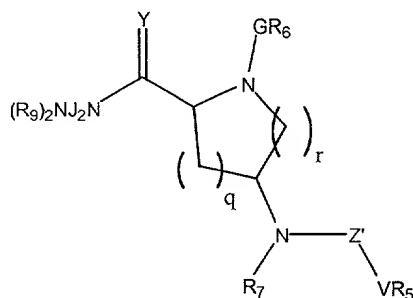
48. The formulation of claim 47, wherein R_1 represents a branched alkyl, a cycloalkyl, or a cycloalkylalkyl.

49. The formulation of claim 47, wherein at least one of R₁, R₂, and R₃ includes an aryl group.
50. The formulation of claim 47, wherein XLR₄ includes a cyclic amine.
51. The formulation of claim 47, wherein X is part of a diazacyclobutane.
52. The formulation of claim 47, wherein the salt is a chloride, bromide, iodide, succinate, tartrate, lactate, mesylate, or maleate salt.
53. The formulation of claim 47, wherein the solution includes a dissolved physiologically acceptable salt.
54. The formulation of claim 53, wherein physiologically the salt is sodium acetate.
55. The formulation of claim 47, wherein the aqueous solution further includes a solute selected from dextrose, lactose, mannitol, or another polyhydroxylated compound.
56. The formulation of claim 47, wherein the aqueous solution has an osmolarity between 200 and 400 mOsm.
57. The formulation of claim 47, wherein the solution has a pH in the range of 3 to 6.
58. The formulation of claim 47, wherein the formulation is suitable for topical administration.
59. A method for inhibiting activation of a *hedgehog* pathway in a cell, comprising contacting the cell with the formulation of claim 36.
60. A method for inhibiting activation of a *hedgehog* pathway in a cell, comprising contacting the cell with the formulation of claim 47.

61. A method for treating or preventing basal cell carcinoma, comprising administering the formulation of claim 36 to a patient in an amount sufficient to inhibit progression of basal cell carcinoma.

62. A method for treating or preventing basal cell carcinoma, comprising administering the formulation of claim 47 to a patient in an amount sufficient to inhibit progression of basal cell carcinoma.

63. A pharmaceutical formulation comprising an aqueous solution of a pharmaceutically acceptable salt of a compound represented by the general formula (V):



Formula V

wherein, as valence and stability permit,

Y is O or S;

Z' is SO₂, -(C=S)-, or -(C=O)-;

p represents, independently for each occurrence, an integer from 0 to 3;

n, individually for each occurrence, represents an integer from 0 to 5;

q and r represent, independently for each occurrence, an integer from 0 to 2;

V is absent or represents O, S, or NR₈;

G is absent or represents -C(=O)- or -SO₂-;

J, independently for each occurrence, represents H or substituted or unsubstituted lower alkyl or alkylene attached to NC(=Y), such that both occurrences of N adjacent to J are linked through at least one occurrence of J, and

R₉, independently for each occurrence, is absent or represents H or lower alkyl, or two occurrences of J or one occurrence of J taken together with one occurrence of R₉,

forms a ring of from 5 to 7 members, which ring includes one or both occurrences of N;

R₅ represents substituted or unsubstituted alkyl (branched or unbranched), alkenyl (branched or unbranched), alkynyl (branched or unbranched), cycloalkyl, or cycloalkylalkyl;

R₆ represents substituted or unsubstituted aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocyclalkyl, cycloalkyl, or cycloalkylalkyl, including polycyclic groups; and

R₇ represents substituted or unsubstituted aryl, aralkyl, heteroaryl, or heteroaralkyl.

64. The formulation of claim 63, wherein Y and Z are O.

65. The formulation of claim 63, wherein the sum of q and r is less than 4.

66. The formulation of claim 63, wherein at least one occurrence of J is part of a heterocyclic ring having from 5 to 8 members.

67. The formulation of claim 63, wherein R₅ represents a branched alkyl, cycloalkyl, or cycloalkylalkyl.

68. The formulation of claim 63, wherein R₆ includes at least one heterocyclic ring.

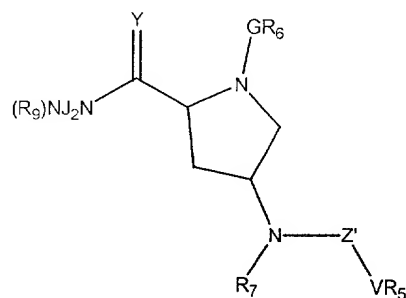
69. The formulation of claim 63, wherein R₇ represents a phenyl alkyl.

70. The formulation of claim 63, wherein the salt is a chloride, bromide, iodide, succinate, tartrate, lactate, mesylate, or maleate salt.

71. The formulation of claim 63, wherein the solution includes a dissolved physiologically acceptable salt.

72. The formulation of claim 71, wherein physiologically the salt is sodium acetate.

73. The formulation of claim 63, wherein the aqueous solution further includes a solute selected from dextrose, lactose, mannitol, or another polyhydroxylated compound.
74. The formulation of claim 63, wherein the aqueous solution has an osmolarity between 200 and 400 mOsm.
75. The formulation of claim 63, wherein the solution has a pH in the range of 3 to 6.
76. The formulation of claim 63, wherein the formulation is suitable for topical administration.
77. A method for inhibiting activation of a *hedgehog* pathway in a cell, comprising contacting the cell with the formulation of claim 63.
78. A method for treating or preventing basal cell carcinoma, comprising administering the formulation of claim 63 to a patient in an amount sufficient to inhibit progression of basal cell carcinoma.
79. A pharmaceutical formulation comprising an aqueous solution of a pharmaceutically acceptable salt of a compound represented by the general formula (VI):



Formula VI

wherein, as valence and stability permit,

Y is O or S;

Z' is SO₂, -(C=S)-, or -(C=O)-;

p represents, independently for each occurrence, an integer from 0 to 3;

n, individually for each occurrence, represents an integer from 0 to 5;

V is absent or represents O, S, or NR₈;

G is absent or represents -C(=O)- or -SO₂-;

J, independently for each occurrence, represents H or substituted or unsubstituted lower alkyl or alkylene attached to NC(=Y), such that both occurrences of N adjacent to J are linked through at least one occurrence of J, and

R₉, independently for each occurrence, is absent or represents H or lower alkyl, or two occurrences of J or one occurrence of J taken together with one occurrence of R₉, forms a ring of from 5 to 7 members, which ring includes one or both occurrences of N;

R₅ represents substituted or unsubstituted alkyl (branched or unbranched), alkenyl (branched or unbranched), alkynyl (branched or unbranched), cycloalkyl, or cycloalkylalkyl;

R₆ represents substituted or unsubstituted aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocyclalkyl, cycloalkyl, or cycloalkylalkyl, including polycyclic groups; and

R₇ represents substituted or unsubstituted aryl, aralkyl, heteroaryl, or heteroaralkyl.

80. The preparation of claim 79, wherein Y and Z are O.

81. The preparation of claim 79, wherein at least one occurrence of J is part of a heterocyclic ring having from 5 to 8 members.

82. The preparation of claim 79, wherein R₅ represents a branched alkyl, cycloalkyl, or cycloalkylalkyl.

83. The preparation of claim 79, wherein R₆ includes at least one heterocyclic ring.

84. The preparation of claim 79, wherein R₇ represents a phenyl alkyl.

85. The formulation of claim 79, wherein the salt is a chloride, bromide, iodide, succinate, tartrate, lactate, mesylate, or maleate salt.
86. The formulation of claim 79, wherein the solution includes a dissolved physiologically acceptable salt.
87. The formulation of claim 86, wherein physiologically the salt is sodium acetate.
88. The formulation of claim 79, wherein the aqueous solution further includes a solute selected from dextrose, lactose, mannitol, or another polyhydroxylated compound.
89. The formulation of claim 79, wherein the aqueous solution has an osmolarity between 200 and 400 mOsm.
90. The formulation of claim 79, wherein the solution has a pH in the range of 3 to 6.
91. The formulation of claim 79, wherein the formulation is suitable for topical administration.
92. A method for inhibiting activation of a *hedgehog* pathway in a cell, comprising contacting the cell with the formulation of claim 79.
93. A method for treating or preventing basal cell carcinoma, comprising administering the formulation of claim 79 to a patient in an amount sufficient to inhibit progression of basal cell carcinoma.